

10/088,074

\* \* \* \* \* Welcome to STN International \* \* \* \* \*  
\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:18:00 ON 18 SEP 2007

=> file reg

=> Uploading C:\Program Files\Stnexp\Queries\Queries\10088074.str



chain nodes :

1 2 4 5 6 7 10 11 12 13 14

chain bonds :

1-2 2-4 2-5 5-6 6-7 7-10 10-11 11-12 12-13 13-14

exact/norm bonds :

1-2 2-4 2-5 6-7 7-10 10-11 11-12 12-13 13-14

exact bonds :

5-6

G1:O,S

Match level :

1:Atom 2:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 10:CLASS 11:CLASS 12:CLASS  
13:CLASS 14:CLASS

Generic attributes :

1:

Saturation : Unsaturated

7:

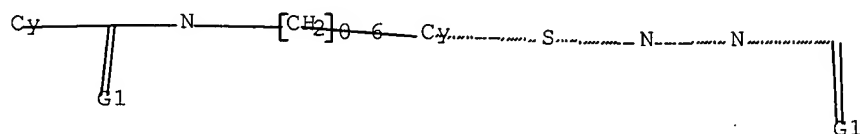
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> dis l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam  
 L2 3 SEA SSS SAM L1

=> s l1 full  
 L3 112 SEA SSS FUL L1

=> file caplus

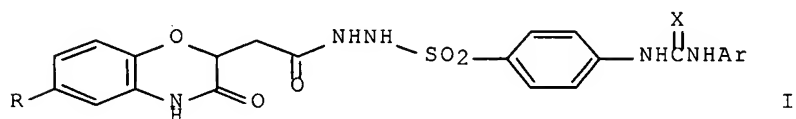
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 L4 5 L3

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 19968304 PD< SEPT 1999  
 (PD<19990900)

L5 2 L4 AND PD< SEPT 1999

=> dis l5 1-2 bib abs hitstr

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1998:264994 CAPLUS Full-text  
 DN 128:308458  
 TI New hypoglycemic agents - Part XVI: synthesis and evaluation of  
 N3-aryl-N1-[4-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)acetic acid  
 hydrazidosulfonyl]phenyl] ureas/thioureas  
 AU Reddy, R. Raja; Reddy, T. Madhukar; Reddy, V. M.  
 CS Medicinal Chemistry Laboratories, University College of Pharmaceutical  
 Sciences, Kakatiya University, Warangal, 506 009, India  
 SO Indian Journal of Heterocyclic Chemistry (1998), 7(3), 185-188  
 CODEN: IJCHEI; ISSN: 0971-1627  
 PB Prof. R. S. Varma  
 DT Journal  
 LA English  
 GI



AB Eight different N3-aryl-N1-[4-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)acetic acid hydrazidosulfonyl]phenyl]ureas/thioureas I (R = H, Cl, Ar = Ph, 4-MeC6H4, 4-BrC6H4, X = O, S) were synthesized from the corresponding (3,4-dihydro-3-oxo-2H-benzoxazin-2-yl)acetic acid hydrazides. The title compds. along with their intermediates were characterized by anal. and spectral data. Their pharmacol. evaluation had showed them to possess a moderate hypoglycemic activity. The N3-aryl group was found to play a key role in aldose reductase inhibiting property, the compound with 4-methylphenyl group being more potent, in this respect.

IT 206553-05-1P 206553-06-2P 206553-07-3P  
 206553-08-4P 206553-09-5P 206553-11-9P  
 206553-13-1P 206553-14-2P

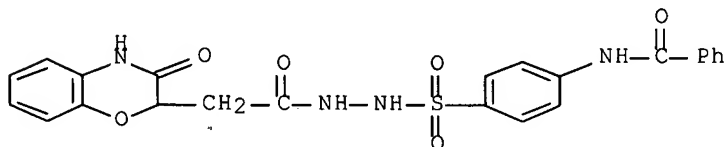
10/088,074

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, aldose reductase inhibitory, and hypoglycemic activity of oxobenzoxazinylacetic acid (hydrazidosulfonyl)phenyl ureas/thioureas)

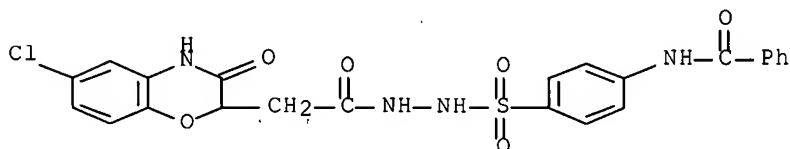
RN 206553-05-1 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-3-oxo-, 2-[[4-(benzoylamino)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



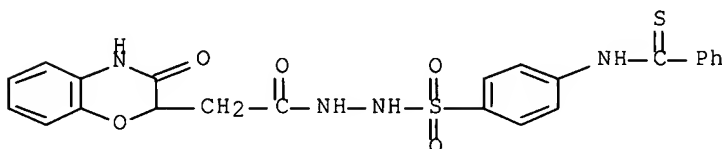
RN 206553-06-2 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 6-chloro-3,4-dihydro-3-oxo-, 2-[[4-(benzoylamino)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



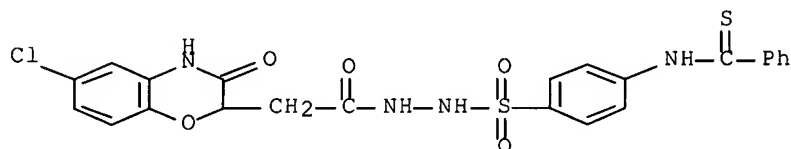
RN 206553-07-3 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-3-oxo-, 2-[[4-[(phenylthioxomethyl)amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



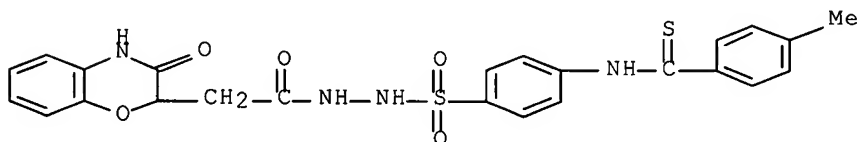
RN 206553-08-4 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 6-chloro-3,4-dihydro-3-oxo-, 2-[[4-[(phenylthioxomethyl)amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



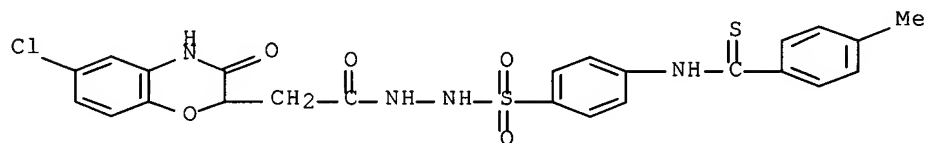
RN 206553-09-5 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-3-oxo-, 2-[[4-[[[4-methylphenyl]thioxomethyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



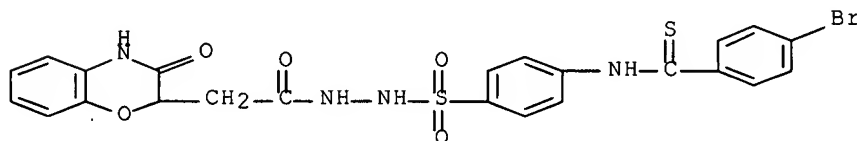
RN 206553-11-9 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 6-chloro-3,4-dihydro-3-oxo-, 2-[[4-[[[4-methylphenyl]thioxomethyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



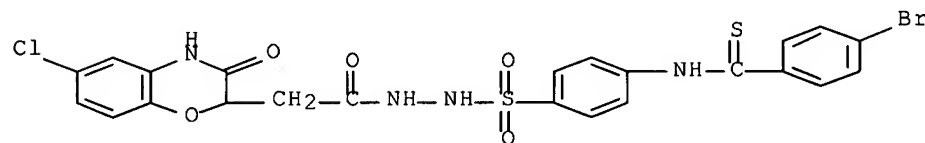
RN 206553-13-1 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 3,4-dihydro-3-oxo-, 2-[[4-[[[4-bromophenyl]thioxomethyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 206553-14-2 CAPLUS

CN 2H-1,4-Benzoxazine-2-acetic acid, 6-chloro-3,4-dihydro-3-oxo-, 2-[[4-[[[4-bromophenyl]thioxomethyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)

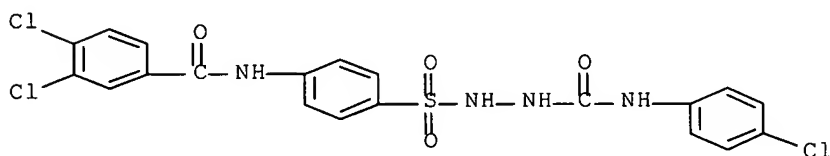


RE.CNT 6

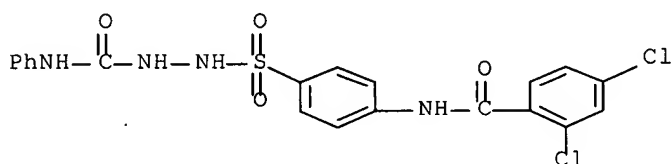
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1984:209309 CAPLUS Full-text  
 DN 100:209309  
 TI Some novel sulfanilyl derivatives  
 AU Cremlyn, R. J.; Swinbourne, F. J.; Batchelor, A.; Honeyman, R.; Nash, D.; Shode, O. O.; Patel, A.  
 CS Sch. Nat. Sci., Hatfield Polytech., Hatfield/Hertfordshire, UK  
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(10), 1029-43  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DT Journal  
 LA English  
 OS CASREACT 100:209309  
 AB Benzoic acid anilide and p-chloro, m-nitro, together with the 2,4-, 2,5- and 3,4-dichloro derivs., reacted with chlorosulfonic acid (I) in 1:4 molar ratios to give the corresponding sulfanilyl chlorides. However, nicotinic acid and isonicotinic acid anilides reacted with I, in 1:6 molar ratios only for conversion into the sulfanilyl chlorides. 2,4-Dichlorophenoxyacetic acid anilide reacted with I in 1:3 molar ratios to give the sulfanilyl chloride; this reaction when carried out in 1:7 molar ratios of the reactants gave the disulfonyl chloride. The various sulfanilyl chlorides were treated with amines, azide ion, and hydrazine to give a range of sulfonyl compds. The compds. prepared have been subjected to preliminary biol. screening.  
 IT 89565-05-9P  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and herbicidal activity of)  
 RN 89565-05-9 CAPLUS  
 CN Benzenesulfonic acid, 4-[(3,4-dichlorobenzoyl)amino]-, 2-[[ (4-chlorophenyl)amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

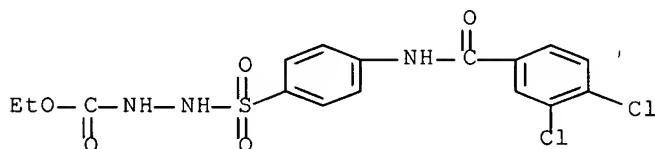


IT 89564-91-0P 89565-03-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 89564-91-0 CAPLUS  
 CN Benzenesulfonic acid, 4-[(2,4-dichlorobenzoyl)amino]-, 2-[(phenylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)



RN 89565-03-7 CAPLUS

CN Hydrazinecarboxylic acid, 2-[[4-[(3,4-dichlorobenzoyl)amino]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



=&gt; s 14 not 15

L6 3 L4 NOT L5

=&gt; dis 16 1-3 bib abs fhitr

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1045227 CAPLUS Full-text

DN 142:155891

TI Design, Synthesis, and Biological Activity of Novel, Potent, and Selective (Benzoylaminomethyl)thiophene Sulfonamide Inhibitors of c-Jun-N-Terminal Kinase

AU Rueckle, Thomas; Biamonte, Marco; Grippi-Vallotton, Tania; Arkinstall, Steve; Cambet, Yves; Camps, Montserrat; Chabert, Christian; Church, Dennis J.; Halazy, Serge; Jiang, Xuliang; Martinou, Isabelle; Nichols, Anthony; Sauer, Wolfgang; Gotteland, Jean-Pierre

CS Serono Pharmaceutical Research Institute, Geneva, 1228, Switz.

SO Journal of Medicinal Chemistry (2004), 47(27), 6921-6934

CODEN: JMCMAR; ISSN: 0022-2623

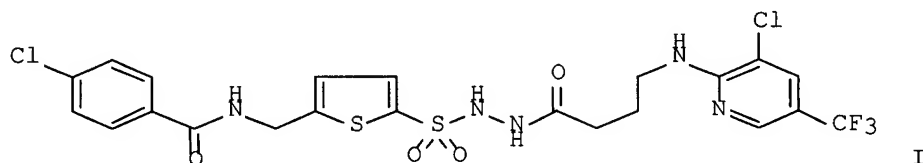
PB American Chemical Society

DT Journal

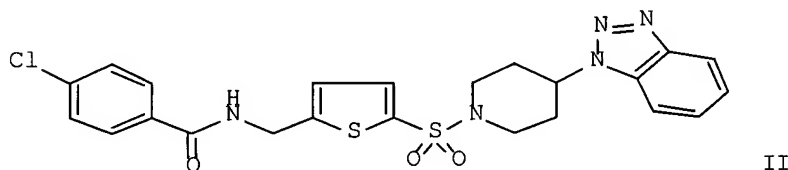
LA English

OS CASREACT 142:155891

GI



I



II

AB Several lines of evidence support the hypothesis that c-Jun N-terminal kinases (JNKs) play a critical role in a wide range of disease states including cell death (apoptosis)-related and inflammatory disorders (epilepsy, brain, heart and renal ischemia, neurodegenerative diseases, multiple sclerosis, rheumatoid arthritis, and inflammatory bowel syndrome). The screening of a compound collection led to the identification of a 2-(benzoylaminomethyl)thiophene sulfonamide (AS004509, I) as a potent and selective JNK inhibitor. Chemical and structure-activity relationship (SAR) studies performed around this novel kinase-inhibiting motif indicated that the left and central parts of the mol. were instrumental to maintaining potency at the enzyme. Accordingly, we investigated the JNK-inhibiting properties of a number of variants of the right-hand moiety of the mol., which led to the identification of 2-(benzoylaminomethyl)thiophene sulfonamide benzotriazole (AS600292, II), the first potent and selective JNK inhibitor of this class which demonstrates a protective action against neuronal cell death induced by growth factor and serum deprivation.

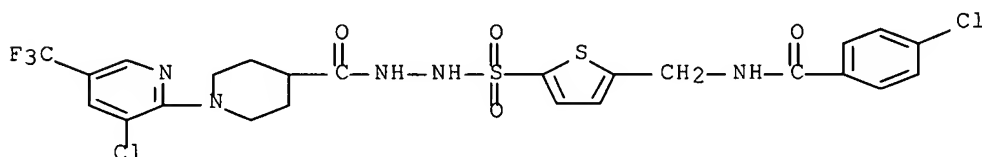
IT 830331-12-9P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(preparation, selective c-Jun-N-terminal kinase inhibiting activity and structure-activity relationship of (benzoylaminomethyl)thiophene sulfonamides)

RN 830331-12-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-, 2-[[5-[[4-(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:125925 CAPLUS Full-text

DN 136:151160

TI Preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as c-Jun N-terminal kinase inhibitors

IN Arkinstall, Stephen; Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean-Pierre; Biamonte, Marco

PA Applied Research Systems ARS Holding N.V., Neth. Antilles

SO PCT Int. Appl., 76 pp.

CODEN: PIXXD2

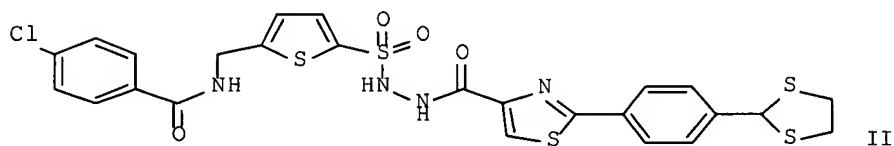
DT Patent

LA English

FAN.CNT 2

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
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| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, |      |          |                 |          |

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1088822 A1 20010404 EP 1999-810870 19990928  
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 CA 2385001 A1 20010405 CA 2000-2385001 20000928  
 EP 1216245 A1 20020626 EP 2000-962745 20000928  
 EP 1216245 B1 20040526  
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 IE, SI, LT, LV, FI, RO, MK, CY, AL  
 JP 2003510323 T 20030318 JP 2001-526534 20000928  
 AT 267826 T 20040615 AT 2000-962745 20000928  
 AU 777293 B2 20041007 AU 2000-74386 20000928  
 PRAI EP 1999-810870 A 19990928  
 WO 2000-IB1381 W 20000928  
 OS MARPAT 136:151160  
 GI

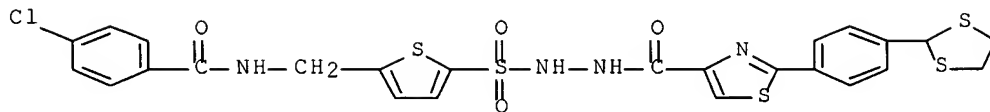


AB RC(:X1)NR1(CH2)nZSO2NR2NR3C(:X2)R4 [I; R = (un)substituted (hetero)aryl; R1, R2, and R3 = H or alkyl; or RR1 and/or R2R3 = atoms to complete a ring; R4 = (un)substituted alkyl or heterocyclyl; X1 and X2 = O or S; Z = (un)substituted (hetero)arylene; n = 0-5] were prepared as c-Jun N-terminal kinase (JNK) inhibitors, especially JNK2 or JNK3 inhibitors. Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COC1 (98%) and the chlorosulfonated product (63%) amidated by 2-[4-(1,3-dithiolan-2-yl)phenyl]thiazole-4-carbohydrazide to give title compound II (80%). The latter exhibited selective inhibitory effect for JNK2 and JNK3 compared with p38 kinase and ERK2 protein kinase with IC50 values of 0.21  $\mu$ M, 0.37  $\mu$ M, >30  $\mu$ M, and >30  $\mu$ M, resp. Thus, I are useful for the treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease.

IT 332360-50-6P, 4-Chloro-N-[[5-[[2-[[2-[4-(1,3-dithiolan-2-yl)phenyl]-1,3-thiazol-4-yl]carbonyl]hydrazino]sulfonyl]thien-2-yl]methyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (JNK inhibitor; preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as JNK2 and JNK3 inhibitors for treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease)

RN 332360-50-6 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[4-chlorobenzoyl]amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)





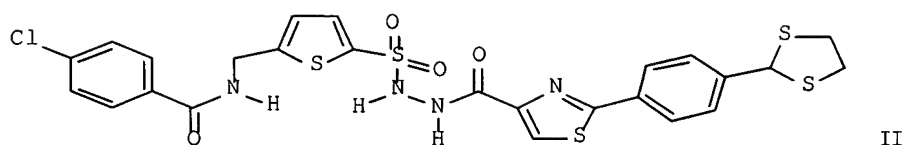
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:246568 CAPLUS Full-text  
DN 134:280838  
TI Preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as  
c-Jun N-terminal kinase inhibitors  
IN Arkinstall, Stephen; Halazy, Serge; Church, Dennis; Camps, Montserrat;  
Rueckle, Thomas; Gotteland, Jean-Pierre; Biamonte, Marco  
PA Applied Research Systems ARS Holding N.V., Neth. Antilles  
SO Eur. Pat. Appl., 32 pp.  
CODEN: EPXXDW

DT Patent  
LA English

FAN.CNT 2

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
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| PI   | EP 1088822  | A1   | 20010404 | EP 1999-810870  | 19990928 |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                 |          |
|      | CA 2385001  | A1   | 20010405 | CA 2000-2385001 | 20000928 |
|      | WO 2001023382   | A1   | 20010405 | WO 2000-IB1381  | 20000928 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
|      | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | EP 1216245  | A1   | 20020626 | EP 2000-962745  | 20000928 |
|      | EP 1216245  | B1   | 20040526 |                 |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                 |          |
|      | JP 2003510323   | T    | 20030318 | JP 2001-526534  | 20000928 |
|      | AT 267826   | T    | 20040615 | AT 2000-962745  | 20000928 |
|      | PT 1216245  | T    | 20040831 | PT 2000-962745  | 20000928 |
|      | AU 777293   | B2   | 20041007 | AU 2000-74386   | 20000928 |
|      | ES 2216959  | T3   | 20041101 | ES 2000-962745  | 20000928 |
| PRAI | EP 1999-810870  | A    | 19990928 |                 |          |
|      | WO 2000-IB1381  | W    | 20000928 |                 |          |
| OS   | MARPAT 134:280838   |      |          |                 |          |
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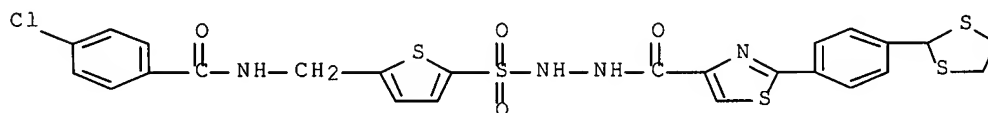
AB RC(:X1)NR1(CH2)nZSO2NR2NR3C(:X2)R4 [I; R = (un)substituted (hetero)aryl; R1,R2,R3 = H or alkyl; RR1,R2R3 = atoms to complete a ring; R4 = (un)substituted alkyl or -heterocyclyl; X1,X2 = O or S; Z = (un)substituted (hetero)aryene; n = 0-5] were prepared Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl and the chlorosulfonated product amidated by 2-[4-(1,3-dithiolan-2-yl)phenyl]thiazole-4-carbohydrazide to give title compound II. Data for biol. activity of I were given.

IT 332360-50-6F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-thienylsulfonylthiazolecarbohydrazides and analogs as c-Jun N-terminal kinase inhibitors)

RN 332360-50-6 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-(1,3-dithiolan-2-yl)phenyl]-, 2-[[5-[[4-(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]hydrazide (9CI)  
(CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

STN INTERNATIONAL LOGOFF AT 10:19:35 ON 18 SEP 2007